

## INTERNATIONAL SEARCH REPORT

International Application No  
PCT/GB 02/05916

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7	C07D207/34	C07D417/14	C07D417/12	C07D403/14	C07D409/14
	A61K31/40	A61K31/427	A61K31/404	A61K31/4025	A61P31/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 5 698 674 A (HE GONG-XIN ET AL) 16 December 1997 (1997-12-16) cited in the application claims 1-3; figure 15 column 10, line 37 -column 11, line 7 column 16, line 34 - line 59 ---	1-49
Y	US 5 753 629 A (BARALDI PIER GIOVANNI ET AL) 19 May 1998 (1998-05-19) cited in the application abstract; claims 1,3,7-12 ---	1-49
Y	WO 97 28123 A (CALDARELLI MARINA; GERONI MARIA CRISTINA (IT); BERIA ITALO (IT); C) 7 August 1997 (1997-08-07) cited in the application claims ---	1-49 -/-

 Further documents are listed in the continuation of box C. Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the international search

24 September 2003

Date of mailing of the international search report

09/10/2003

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	K. EKAMBARESWARA RAO ET AL: "Synthesis of Novel Thiazole-Containing Minor Groove Binding Oligopeptides Related to the Antibiotic Distamycin" J. ORG. CHEM., vol. 55, no. 2, 1990, pages 728-737, XP002255609 Scheme I ---	1,2,16, 21,25
A	WO 98 21202 A (BARALDI PIER GIOVANNI; CALDARELLI MARINA (IT); BERIA ITALO (IT)) 22 May 1998 (1998-05-22) cited in the application claims 1,4,10 ---	1,2,16, 21,33, 48,49
A	US 4 912 199 A (LOWN J WILLIAM ET AL) 27 March 1990 (1990-03-27) claims 1,27 ---	1,2,16, 21,48,49
A	US 5 273 991 A (LEE MOSES N F) 28 December 1993 (1993-12-28) cited in the application claims 1,41 ---	1,2,16, 21,33
A	US 6 090 947 A (BAIRD ELDON ET AL) 18 July 2000 (2000-07-18) cited in the application figures 2A,2B,3A,3B ---	1,2,16, 21
A	US 5 637 621 A (BOLONICK JOEL ET AL) 10 June 1997 (1997-06-10) cited in the application column 3, line 43 - line 62 ---	1,2,16, 21
A	EP 0 343 893 A (PFIZER) 29 November 1989 (1989-11-29) page 16, compounds wherein R2 is i-C <sub>3</sub> H <sub>7</sub> ; page 18, compounds wherein R2 is i-C <sub>3</sub> H <sub>7</sub> ---	1,2,16, 25
A	Dwyer T J ET AL: "DESIGN AND BINDING OF A DISTAMYCIN A ANALOG TO D(CGCAAGTTGGC).D(GCCAACCTTGC): SYNTHESIS, NMR STUDIES, AND IMPLICATIONS FOR THE DESIGN OF SEQUENCE-SPECIFIC MINOR GROOVE BINDING OLIGOPEPTIDES" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, DC, US, vol. 114, no. 15, 15 July 1992 (1992-07-15), pages 5911-5919, XP000565675 ISSN: 0002-7863 page 5919, left-hand column ---	1,2,16, 21
		-/-

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 02 00650 A (GENELABS TECH INC; KHORLIN ALEXANDER (US); MUCHOWSKI JOSEPH MARTIN) 3 January 2002 (2002-01-03) cited in the application page 2, line 30 -page 3, line 27 page 4, line 14 - line 18 page 12, line 11 -page 16, line 25 -----	1, 2
X	GUOJIAN XIE ET AL: "Bisindolylmaleimides Linked to DNA Minor Groove Binding Lexitropsins: Synthesis, Inhibitory Activity against Topoisomerase I, and Biological Evaluation" J. MED. CHEM., vol. 39, no. 5, 1996, pages 1049-1055, XP002255610 page 1050, left-hand column, figure 1, compounds 2 and 3 -----	49

# INTERNATIONAL SEARCH REPORT

Int'l application No.  
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## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 38-40 and 45-47 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2.  Claims Nos.: 1-15, 29, 31, 32 (all partly) because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3.  Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

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FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-15, 29, 31, 32 (all partly)

Present claims 1-15 relate to an extremely large number of possible compounds. The number of compounds claimed is extremely large *inter alia* since only some structural parts of the compounds are given in claims 1 and 2 (and even in dependent claims 3 to 15); moreover, the wording "comprising" does not exclude still other structural features. Support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds of claim 16 and the concrete examples given in the description.

Present claims 1, 2, 12, 13, 14, 29, 31 and 32 relate to compounds defined by reference to a desirable characteristic or property, namely:

Claims 1 and 2: The compound shall bind to the minor groove of DNA.

Claim 12: The compound shall be bioavailable.

Claim 13: The compound shall have a high affinity for DNA sequences.

Claim 14: The compound shall bind to the minor groove of a DNA oligomer or polymer with a dissociation constant of less than a certain value.

Claim 29: The compound shall have a specificity for DNA sequences that contain at least one GC base pairing.

Claim 31: The compound shall have different binding activities at different minor groove binding sites in double-stranded DNA molecules having more than one minor groove binding site.

Claim 32: The different minor groove binding sites mentioned in claim 31 shall comprise solely AT base pairs.

Said claims 1, 2, 12, 13, 14, 29, 31 and 32 lack clarity (Article 6 PCT). An attempt is made to define the compounds by reference to a result to be achieved so that it is not clear for which subject-matter protection is actually sought. Again, this lack of clarity in the present case is such as to render a meaningful search over the whole of the claimed scope impossible. Consequently, the search has been carried out for those parts of the claims which appear to be clear and supported, namely those parts relating to the compounds comprised by claim 16 and the concrete examples disclosed in the description.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following

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FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

receipt of the search report or during any Chapter II procedure.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

Internal Application No

PCT/GB 02/05916

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
US 5698674	A	16-12-1997	NONE		
US 5753629	A	19-05-1998	AT 229524 T AU 689623 B2 AU 3113695 A DE 69529143 D1 DE 69529143 T2 EP 0722446 A1 FI 961506 A JP 9504039 T NO 961377 A PL 313821 A1 CA 2172629 A1 CN 1131946 A WO 9605196 A1 ES 2188666 T3 HU 76267 A2 NZ 290404 A ZA 9506590 A		15-12-2002 02-04-1998 07-03-1996 23-01-2003 11-09-2003 24-07-1996 05-06-1996 22-04-1997 30-05-1996 22-07-1996 22-02-1996 25-09-1996 22-02-1996 01-07-2003 28-07-1997 24-04-1997 18-03-1996
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## IN. NATIONAL SEARCH REPORT

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US 6090947	A	US	6555692 B1		29-04-2003
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EP 0343893	A	29-11-1989	AT 79114 T AU 601905 B2 AU 3509889 A CA 1328871 C CN 1037898 A , B DD 283815 A5 DE 68902358 D1 DE 68902358 T2 DK 249389 A EP 0343893 A1 ES 2043012 T3 FI 892498 A GR 3005844 T3 HU 51606 A2 IE 891667 L JP 2017181 A JP 6078331 B KR 9106864 B1 MX 16158 A , B NO 892059 A , B, NZ 229234 A PL 279613 A1 PT 90622 A , B SU 1681728 A3 US 4970318 A YU 105989 A1 ZA 8903862 A		15-08-1992 20-09-1990 30-11-1989 26-04-1994 13-12-1989 24-10-1990 10-09-1992 10-12-1992 27-11-1989 29-11-1989 16-12-1993 25-11-1989 07-06-1993 28-05-1990 24-11-1989 22-01-1990 05-10-1994 09-09-1991 01-06-1993 27-11-1989 26-09-1990 27-11-1989 30-11-1989 30-09-1991 13-11-1990 31-08-1990 30-01-1991
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